

10/513699

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LOGINID:ssptaéal1624

PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

\* \* \* \* \* Welcome to STN International \* \* \* \* \*

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NEWS 2 DEC 01 ChemPort single article sales feature unavailable  
NEWS 3 APR 03 CAS coverage of exemplified prophetic substances  
enhanced  
NEWS 4 APR 07 STN is raising the limits on saved answers  
NEWS 5 APR 24 CA/CAPLUS now has more comprehensive patent assignee  
information  
NEWS 6 APR 26 USPATFULL and USPAT2 enhanced with patent  
assignment/reassignment information  
NEWS 7 APR 28 CAS patent authority coverage expanded  
NEWS 8 APR 28 ENCOMPLIT/ENCOMPLIT2 search fields enhanced  
NEWS 9 APR 28 Limits doubled for structure searching in CAS  
REGISTRY  
NEWS 10 MAY 08 STN Express, Version 8.4, now available  
NEWS 11 MAY 11 STN on the Web enhanced  
NEWS 12 MAY 11 BEILSTEIN substance information now available on  
STN Easy  
NEWS 13 MAY 14 DGENE, PCTGEN and USGENE enhanced with increased  
limits for exact sequence match searches and  
introduction of free HIT display format  
NEWS 14 MAY 15 INPADOCDB and INPAFAMDB enhanced with Chinese legal  
status data  
NEWS 15 MAY 28 CAS databases on STN enhanced with NANO super role in  
records back to 1992  
NEWS 16 JUN 01 CAS REGISTRY Source of Registration (SR) searching  
enhanced on STN

NEWS EXPRESS MAY 26 09 CURRENT WINDOWS VERSION IS V8.4,  
AND CURRENT DISCOVER FILE IS DATED 06 APRIL 2009.

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Enter NEWS followed by the item number or name to see news on that  
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and other penalties.

\*\*\*\*\* STN Columbus \*\*\*\*\*

FILE 'HOME' ENTERED AT 16:06:12 ON 09 JUN 2009

|                      |            |         |
|----------------------|------------|---------|
| => file reg          |            |         |
| COST IN U.S. DOLLARS | SINCE FILE | TOTAL   |
|                      | ENTRY      | SESSION |
| FULL ESTIMATED COST  | 0.22       | 0.22    |

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STRUCTURE FILE UPDATES: 8 JUN 2009 HIGHEST RN 1154048-98-2  
DICTIONARY FILE UPDATES: 8 JUN 2009 HIGHEST RN 1154048-98-2

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TSCA INFORMATION NOW CURRENT THROUGH January 9, 2009.

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REGISTRY includes numerically searchable data for experimental and  
predicted properties as well as tags indicating availability of  
experimental property data in the original document. For information  
on property searching in REGISTRY, refer to:

<http://www.cas.org/support/stngen/stdoc/properties.html>

|                      |            |         |
|----------------------|------------|---------|
| => file casreact     |            |         |
| COST IN U.S. DOLLARS | SINCE FILE | TOTAL   |
|                      | ENTRY      | SESSION |
| FULL ESTIMATED COST  | 0.48       | 0.70    |

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FILE CONTENT:1840 - 8 Jun 2009 VOL 150 ISS 24

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\*\*\*\*\*  
\* CASREACT now has more than 16.5 million reactions \*

<12/04/2007>

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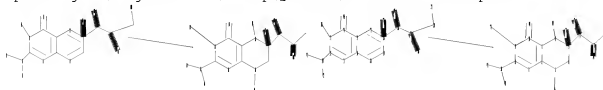
\*  
\*\*\*\*\*

CASREACT contains reactions from CAS and from: ZIC/VINITI database (1974-1999) provided by InfoChem; INPI data prior to 1986; Biotransformations database compiled under the direction of Professor Dr. Klaus Kieslich; organic reactions, portions copyright 1996-2006 John Wiley & Sons, Ltd., John Wiley and Sons, Inc., Organic Reactions Inc., and Organic Syntheses Inc. Reproduced under license. All Rights Reserved.

This file contains CAS Registry Numbers for easy and accurate substance identification.

=>

Uploading C:\Program Files\Stnexp\Queries\10579106stereounsific.str



```

chain nodes :
21 22 23 24 25 26 27 28 29 30 31 32 33 34 35 36 37 38 39 40 41
42 43 44 45
ring nodes :
1 2 3 4 5 6 7 8 9 10 11 12 13 14 15 16 17 18 19 20
chain bonds :
1-35 4-34 5-21 5-32 8-38 9-37 10-44 12-39 13-36 14-45 18-26 18-33 21-22
21-25 22-23 22-24 26-27 26-29 27-28 27-30 28-31 38-40 38-41 39-42 39-43
ring bonds :
1-2 1-6 2-3 2-7 3-4 3-10 4-5 5-6 7-8 8-9 9-10 11-12 11-16 12-13 13-14
14-15 15-16 15-17 16-20 17-18 18-19 19-20
exact/norm bonds :
1-2 1-6 2-3 2-7 3-4 3-10 4-5 5-6 7-8 8-9 8-38 9-10 10-44 11-12 11-16
12-13 12-39 13-14 14-15 14-45 21-25 22-24 26-29 27-30 28-31
exact bonds :
1-35 4-34 5-21 5-32 9-37 13-36 18-26 18-33 21-22 22-23 26-27 27-28
38-40 38-41 39-42 39-43
normalized bonds :
15-16 15-17 16-20 17-18 18-19 19-20
isolated ring systems :
containing 1 : 11 :

```

```

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom
20:Atom 21:CLASS 22:CLASS 23:CLASS 24:CLASS 25:CLASS 26:CLASS 27:CLASS
28:CLASS 29:CLASS 30:CLASS 31:CLASS 32:CLASS 33:CLASS 34:CLASS 35:CLASS
36:CLASS 37:CLASS 38:CLASS 39:CLASS 40:CLASS 41:CLASS 42:CLASS 43:CLASS
44:CLASS 45:CLASS
fragments assigned product role:
containing 1

```

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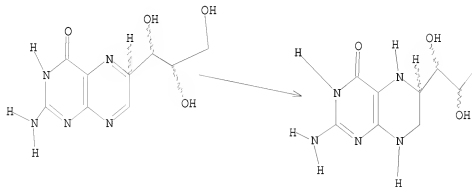
fragments assigned reactant/reagent role:  
containing 11

L1        STRUCTURE UPLOADED

=> d 11

L1 HAS NO ANSWERS

L1        STR



Structure attributes must be viewed using STN Express query preparation.

=> s 11 full

THE ESTIMATED SEARCH COST FOR FILE 'CASREACT' IS 122.65 U.S. DOLLARS

DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N or END:y

FULL SEARCH INITIATED 16:07:15 FILE 'CASREACT'

SCREENING COMPLETE -        29 REACTIONS TO VERIFY FROM        9 DOCUMENTS

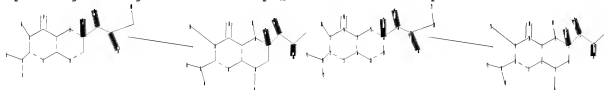
100.0% DONE        29 VERIFIED        0 HIT RXNS        0 DOCS

SEARCH TIME: 00.00.01

L2        0 SEA SSS FUL L1 (        0 REACTIONS)

=>

Uploading C:\Program Files\Stnexp\Queries\10579106unspecified bonds.str



chain nodes :  
21 22 23 24 25 26 27 28 29 30 31 32 33 34 35 36 37 38 39 40 41  
42 43 44 45  
ring nodes :  
1 2 3 4 5 6 7 8 9 10 11 12 13 14 15 16 17 18 19 20  
chain bonds :

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1-35 4-34 5-21 5-32 8-38 9-37 10-44 12-39 13-36 14-45 18-26 18-33 21-22  
21-25 22-23 22-24 26-27 26-29 27-28 27-30 28-31 38-40 38-41 39-42 39-43

ring bonds :

1-2 1-6 2-3 2-7 3-4 3-10 4-5 5-6 7-8 8-9 9-10 11-12 11-16 12-13 13-14  
14-15 15-16 15-17 16-20 17-18 18-19 19-20

exact/norm bonds :

1-2 1-6 2-3 2-7 3-4 3-10 4-5 5-6 7-8 8-9 8-38 9-10 10-44 11-12 11-16  
12-13 12-39 13-14 14-15 14-45 15-16 15-17 16-20 17-18 18-19 19-20 21-25  
22-24 26-29 27-30 28-31

exact bonds :

1-35 4-34 5-21 5-32 9-37 13-36 18-26 18-33 21-22 22-23 26-27 27-28  
38-40 38-41 39-42 39-43

isolated ring systems :

containing 1 : 11 :

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom  
11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom  
20:Atom 21:CLASS 22:CLASS 23:CLASS 24:CLASS 25:CLASS 26:CLASS 27:CLASS  
28:CLASS 29:CLASS 30:CLASS 31:CLASS 32:CLASS 33:CLASS 34:CLASS 35:CLASS  
36:CLASS 37:CLASS 38:CLASS 39:CLASS 40:CLASS 41:CLASS 42:CLASS 43:CLASS  
44:CLASS 45:CLASS

fragments assigned product role:

containing 1

fragments assigned reactant/reagent role:

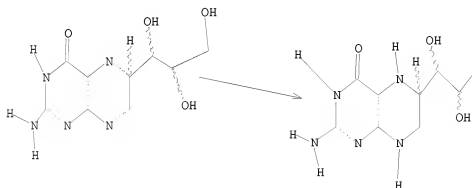
containing 11

L3 STRUCTURE UPLOADED

=> d 13

L3 HAS NO ANSWERS

L3 STR



Structure attributes must be viewed using STN Express query preparation.

=> s 13 full

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THE ESTIMATED SEARCH COST FOR FILE 'CASREACT' IS 122.65 U.S. DOLLARS  
DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N or END:y  
FULL SEARCH INITIATED 16:08:50 FILE 'CASREACT'  
SCREENING COMPLETE - 29 REACTIONS TO VERIFY FROM 9 DOCUMENTS  
100.0% DONE 29 VERIFIED 0 HIT RXNS 0 DOCS  
SEARCH TIME: 00.00.01  
L4 0 SEA SSS FUL L3 ( 0 REACTIONS)

=> file reg  
COST IN U.S. DOLLARS SINCE FILE TOTAL  
ENTRY SESSION  
FULL ESTIMATED COST 247.22 247.92

FILE 'REGISTRY' ENTERED AT 16:09:12 ON 09 JUN 2009  
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STRUCTURE FILE UPDATES: 8 JUN 2009 HIGHEST RN 1154048-98-2  
DICTIONARY FILE UPDATES: 8 JUN 2009 HIGHEST RN 1154048-98-2

New CAS Information Use Policies, enter HELP USAGETERMS for details.

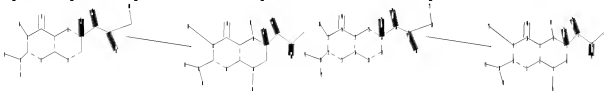
TSCA INFORMATION NOW CURRENT THROUGH January 9, 2009.

Please note that search-term pricing does apply when  
conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and  
predicted properties as well as tags indicating availability of  
experimental property data in the original document. For information  
on property searching in REGISTRY, refer to:

<http://www.cas.org/support/stngen/stndoc/properties.html>

=>  
Uploading C:\Program Files\Stnexp\Queries\10579106unspecified bonds.str



chain nodes :  
21 22 23 24 25 26 27 28 29 30 31 32 33 34 35 36 37 38 39 40 41  
42 43 44 45  
ring nodes :  
1 2 3 4 5 6 7 8 9 10 11 12 13 14 15 16 17 18 19 20  
chain bonds :  
1-35 4-34 5-21 5-32 8-38 9-37 10-44 12-39 13-36 14-45 18-26 18-33 21-22  
21-25 22-23 22-24 26-27 26-29 27-28 27-30 28-31 38-40 38-41 39-42 39-43

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ring bonds :  
1-2 1-6 2-3 2-7 3-4 3-10 4-5 5-6 7-8 8-9 9-10 11-12 11-16 12-13 13-14  
14-15 15-16 15-17 16-20 17-18 18-19 19-20  
exact/norm bonds :  
1-2 1-6 2-3 2-7 3-4 3-10 4-5 5-6 7-8 8-9 8-38 9-10 10-44 11-12 11-16  
12-13 12-39 13-14 14-15 14-45 15-16 15-17 16-20 17-18 18-19 19-20 21-25  
22-24 26-29 27-30 28-31  
exact bonds :  
1-35 4-34 5-21 5-32 9-37 13-36 18-26 18-33 21-22 22-23 26-27 27-28  
38-40 38-41 39-42 39-43  
isolated ring systems :  
containing 1 : 11 :

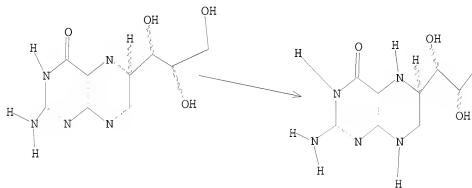
Match level :  
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom  
11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom  
20:Atom 21:CLASS 22:CLASS 23:CLASS 24:CLASS 25:CLASS 26:CLASS 27:CLASS  
28:CLASS 29:CLASS 30:CLASS 31:CLASS 32:CLASS 33:CLASS 34:CLASS 35:CLASS  
36:CLASS 37:CLASS 38:CLASS 39:CLASS 40:CLASS 41:CLASS 42:CLASS 43:CLASS  
44:CLASS 45:CLASS  
fragments assigned product role:  
containing 1  
fragments assigned reactant/reagent role:  
containing 11

L5 STRUCTURE UPLOADED

=> d 15

L5 HAS NO ANSWERS

L5 STR



Structure attributes must be viewed using STN Express query preparation.

=> s 15 full

THE ESTIMATED SEARCH COST FOR FILE 'REGISTRY' IS 185.40 U.S. DOLLARS  
DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N or END:y

<12/04/2007>

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MULTIPLE ROLE QUERIES ARE NOT ALLOWED IN A NON-REACTION FILE  
COMMAND INTERRUPTED

If this message appears repeatedly, please notify the Help Desk.  
Enter "HELP STN" for information on contacting the nearest STN Help  
Desk by telephone or via SEND in the STNMAIL file.

=> file casreact  
COST IN U.S. DOLLARS

| SINCE FILE | TOTAL   |
|------------|---------|
| ENTRY      | SESSION |
| 2.40       | 250.32  |

FULL ESTIMATED COST

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FILE CONTENT:1840 - 8 Jun 2009 VOL 150 ISS 24

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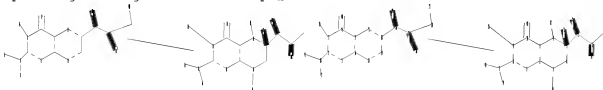
```
*****
*
*   CASREACT now has more than 16.5 million reactions
*
*****
```

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This file contains CAS Registry Numbers for easy and accurate substance identification.

=>

Uploading C:\Program Files\Stnexp\Queries\10579106last.str



```
chain nodes :
21 22 23 24 25 26 27 28 29 30 31 32 33 34 35 36 37 38 39 40 41
42 43 44
ring nodes :
1 2 3 4 5 6 7 8 9 10 11 12 13 14 15 16 17 18 19 20
chain bonds :
```



10/513699

1-34 4-33 5-21 5-32 8-37 9-36 10-43 12-38 13-35 14-44 18-26 21-22 21-25  
22-23 22-24 26-27 26-29 27-28 27-30 28-31 37-39 37-40 38-41 38-42

ring bonds :

1-2 1-6 2-3 2-7 3-4 3-10 4-5 5-6 7-8 8-9 9-10 11-12 11-16 12-13 13-14  
14-15 15-16 15-17 16-20 17-18 18-19 19-20

exact/norm bonds :

1-2 1-6 2-3 2-7 3-4 3-10 4-5 5-6 7-8 8-9 8-37 9-10 10-43 11-12 11-16  
12-13 12-38 13-14 14-15 14-44 15-16 15-17 16-20 17-18 18-19 19-20 21-25  
22-24 26-29 27-30 28-31

exact bonds :

1-34 4-33 5-21 5-32 9-36 13-35 18-26 21-22 22-23 26-27 27-28 37-39  
37-40 38-41 38-42

isolated ring systems :

containing 1 : 11 :

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom  
11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom  
20:Atom 21:CLASS 22:CLASS 23:CLASS 24:CLASS 25:CLASS 26:CLASS 27:CLASS  
28:CLASS 29:CLASS 30:CLASS 31:CLASS 32:CLASS 33:CLASS 34:CLASS 35:CLASS  
36:CLASS 37:CLASS 38:CLASS 39:CLASS 40:CLASS 41:CLASS 42:CLASS 43:CLASS  
44:CLASS

fragments assigned product role:

containing 1

fragments assigned reactant/reagent role:

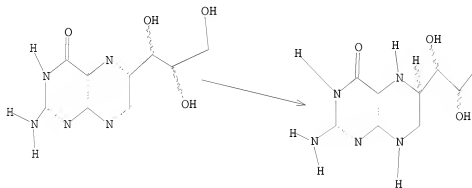
containing 11

L6 STRUCTURE UPLOADED

=> d l6

L6 HAS NO ANSWERS

L6 STR



Structure attributes must be viewed using STN Express query preparation.

=> s l6 full

THE ESTIMATED SEARCH COST FOR FILE 'CASREACT' IS 122.65 U.S. DOLLARS

<12/04/2007>

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10/513699

DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N or END:y

FULL SEARCH INITIATED 16:12:42 FILE 'CASREACT'

SCREENING COMPLETE - 29 REACTIONS TO VERIFY FROM 9 DOCUMENTS

100.0% DONE 29 VERIFIED 4 HIT RXNS 3 DOCS

SEARCH TIME: 00.00.01

L7 3 SEA SSS FUL L6 ( 4 REACTIONS)

=> d ibib abs hitstr tot

'HITSTR' IS NOT A VALID FORMAT FOR FILE 'CASREACT'

The following are valid formats:

ABS ----- GI and AB  
ALL ----- BIB, AB, IND, RE, Single-step Reactions  
APPS ----- AI, PRAI  
BIB ----- AN, plus Bibliographic Data  
CAN ----- List of CA abstract numbers without answer numbers  
CBIB ----- AN, plus Compressed Bibliographic Data  
DALL ----- ALL, delimited (end of each field identified)  
IABS ----- ABS, indented with text labels  
IALL ----- ALL, indented with text labels  
IBIB ----- BIB, indented with text labels  
IND ----- Indexing data  
IPC ----- International Patent Classifications  
ISTD ----- STD, indented with text labels  
OBIB ----- AN, plus Bibliographic Data (original)  
OIBIB ----- OBIB, indented with text labels  
  
SBIB ----- BIB, no citations  
SIBIB ----- IBIB, no citations  
  
MAX ----- Same as ALL  
PATS ----- PI, SO  
SCAN ----- TI and FCRD (random display, no answer number. SCAN  
must be entered on the same line as DISPLAY, e.g.,  
D SCAN.)  
SSRX ----- Single-Step Reactions (Map, Diagram, and Summary for  
all single-step reactions)  
STD ----- BIB, IPC, and NCL  
  
CRD ----- Compact Display of All Hit Reactions  
CRDREF ----- Compact Reaction Display and SO, PY for Reference  
FHIT ----- Reaction Map, Diagram, and Summary for first  
hit reaction  
FHITCBIB --- FHIT, AN plus CBIB  
FCRD ----- First hit in Compact Reaction Display (CRD) format  
FCRDREF ----- First hit in Compact Reaction Display (CRD) format with  
CA reference information (SO, PY). (Default)  
FPATH ----- PATH, plus Reaction Summary for the "long path"  
FSPATH ----- SPATH, plus Reaction Summary for the "short path"  
HIT ----- Reaction Map, Reaction Diagram, and Reaction  
Summary for all hit reactions and fields containing  
hit terms  
OCC ----- All hit fields and the number of occurrences of the  
hit terms in each field. Includes total number of

<12/04/2007>

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HIT, PATH, SPATH reactions. Labels reactions that have incomplete verifications.

PATH ----- Reaction Map and Reaction Diagram for the "long path". Displays all hit reactions, except those whose steps are totally included within another hit reaction which is displayed

RX ----- Hit Reactions (Map, Diagram, Summary for all hit reactions)

RXG ----- Hit Reaction Graphics (Map and Diagram for all hit reactions)

RXL ----- Hit Reaction Long (Map, Diagram, Summary for all hit reactions)

RXS ----- Hit Reaction Summaries (Map and Summary for all hit reactions)

SPATH ----- Reaction Map and Reaction Diagram for the "short path". Displays all single step reactions which contain a hit substance. Also displays those multistep reactions that have a hit substance in both the first and last steps of the reaction, except for those hit reactions whose steps are totally included within another hit reaction which is displayed

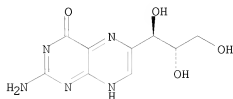
To display a particular field or fields, enter the display field codes. For a list of the display field codes, enter HELP DFIELDS at an arrow prompt (=>). Examples of combinations include: D TI; D BIB RX; D TI, AU, FCRD. The information is displayed in the same order as the specification. All of the formats, except CRD, CRDREF, FHIT, PATH, FPATH, SPATH, FSPATH, FCRD, FCRDREF, HIT, RX, RXG, RXS, SCAN, and OCC, may be used with the DISPLAY command to display the record for a specified Accession Number.

ENTER DISPLAY FORMAT (FCRDREF):.  
 THE ESTIMATED COST FOR THIS REQUEST IS 9.18 U.S. DOLLARS  
 DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N:y

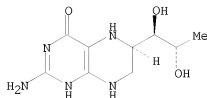
10/513699

L7 ANSWER 1 OF 3 CASREACT COPYRIGHT 2009 ACS on STN

RX(13) OF 15 - 3 STEPS



1. Me<sub>2</sub>NCH(OEt)<sub>2</sub>, DMF  
2. PhSSPh, PBu<sub>3</sub>,  
AcNMe<sub>2</sub>  
3.1. Ni, H<sub>2</sub>, EtOH  
3.2. HCl



stereoisomers

16%

REF: PCT Int. Appl., 2005049614, 02 Jun 2005

NOTE: 3) stereoselective, Raney nickel was used

CON: STEP(1) 6 hours, room temperature

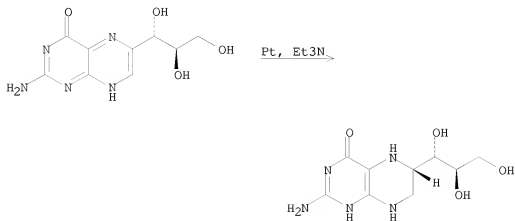
STEP(2.1) room temperature; 4 hours, room temperature

STEP(3.1) room temperature; 17 hours, room temperature, 5 bar

10/513699

L7 ANSWER 2 OF 3 CASREACT COPYRIGHT 2009 ACS on STN

RX(1) OF 1



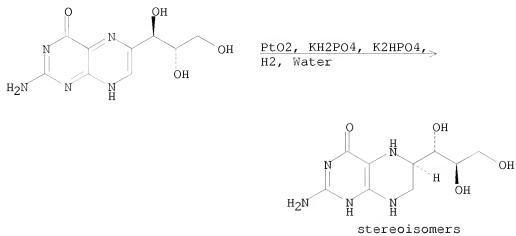
2 HCl

REF: Jpn. Kokai Tokkyo Koho, 07188233, 25 Jul 1995, Heisei  
NOTE: at 0-5.degree., 100 Kg/cm2 for 20 min

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L7 ANSWER 3 OF 3 CASREACT COPYRIGHT 2009 ACS on STN

RX(6) OF 7



REF: Heterocycles, 23(12), 3115-20; 1985

NOTE: pH .gtoreq.10.8

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=> s 17 full

THE ESTIMATED SEARCH COST FOR FILE 'CASREACT' IS 122.65 U.S. DOLLARS

DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N or END:y

FULL SEARCH INITIATED 16:13:45 FILE 'CASREACT'

SCREENING COMPLETE - 29 REACTIONS TO VERIFY FROM 9 DOCUMENTS

100.0% DONE 29 VERIFIED 4 HIT RXNS 3 DOCS

SEARCH TIME: 00.00.01

L8 3 SEA SSS FUL L6 ( 4 REACTIONS)

=> d ibib abs fhit tot

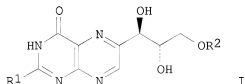
THE ESTIMATED COST FOR THIS REQUEST IS 15.63 U.S. DOLLARS

DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N:y

L8 ANSWER 1 OF 3 CASREACT COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 143:7534 CASREACT  
 TITLE: Preparation of tetrahydrobiopterin and analogs of tetrahydrobiopterin  
 INVENTOR(S): Moser, Rudolf; Groehn, Viola; Schumacher, Andreas; Martin, Pierre  
 PATENT ASSIGNEE(S): Biomarin Pharmaceutical Inc., USA; Merck Eprova A.-G.  
 SOURCE: PCT Int. Appl., 55 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

| PATENT NO.  | KIND | DATE     | APPLICATION NO. | DATE     |
|---|------|----------|-----------------|----------|
| WO 2005049614   | A2   | 20050602 | WO 2004-US38313 | 20041117 |
| WO 2005049614   | A3   | 20070308 |                 |          |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW<br>RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG |      |          |                 |          |
| AU 2004290692   | A1   | 20050602 | AU 2004-290692  | 20041117 |
| CA 2545484  | A1   | 20050602 | CA 2004-2545484 | 20041117 |
| EP 1776364  | A2   | 20070425 | EP 2004-819154  | 20041117 |
| R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, HR, LT, LV, MK, YU   |      |          |                 |          |
| JP 2007534637   | T    | 20071129 | JP 2006-539994  | 20041117 |
| US 20070244322  | A1   | 20071018 | US 2007-579106  | 20070216 |
| PRIORITY APPLN. INFO.:  |      |          | US 2003-520367P | 20031117 |
|   |      |          | US 2003-520368P | 20031117 |
|   |      |          | WO 2004-US38313 | 20041117 |
| OTHER SOURCE(S): MARPAT 143:7534  |      |          |                 |          |
| GI  |      |          |                 |          |



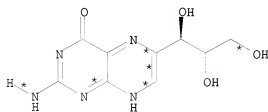
AB A process for the preparation of tetrahydrobiopterin and its analogs, e.g. I [R1 = alkylamino, arylamino, alkylthio, alkylaminomethyleneimino, R2 = H; R1 = alkylamino, alkylthio, Me2NCH=N, R2 = Me2CHEt2Si, (Me3CO)Ph2Si, MePh2Si, Me3CMe2Si, Me3C(MeO)PhSi, (Me3C)2MeSi, etc.], from neopterin



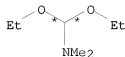
and/or 6-substituted pterins with an improved yield and a high stereoselectivity is disclosed. Also disclosed herein are novel individual intermediates prepared in the preparation of tetrahydrobiopterin, such

as selectively protected neopterin useful for the preparation of tetrahydrobiopterin. As an example, L-neopterin was reacted with DMF-acetal to give the 2-(dimethylamino)methylene derivative I (R1 = Me2NCH=N, R2 = H) (II). II was then silylated to I (R2 = Me3CPh2Si) which could be deprotected to I (R1 = NH2).

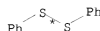
RX(13) OF 15 COMPOSED OF RX(1), RX(5), RX(6)  
RX(13) 2 A + 2 B + 2 N ==> R + S



2 A

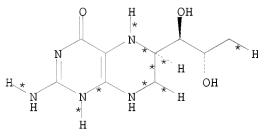


2 B

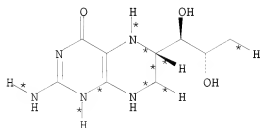


2 N

3  
STEPS  
→



R  
YIELD 16%



S  
YIELD 9%

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RX(1) RCT A 2277-43-2, B 1188-33-6  
PRO C 852547-43-4  
SOL 68-12-2 DMF  
CON 6 hours, room temperature

RX(5) RCT C 852547-43-4, N 882-33-7  
RGT P 998-40-3 PBu3  
PRO O 852547-49-0  
SOL 127-19-5 AcNMe2  
CON SUBSTAGE(1) room temperature  
SUBSTAGE(2) 4 hours, room temperature

RX(6) RCT O 852547-49-0

STAGE(1)  
RGT T 1333-74-0 H2  
CAT 7440-02-0 Ni  
SOL 64-17-5 EtOH  
CON SUBSTAGE(1) room temperature  
SUBSTAGE(2) 17 hours, room temperature, 5 bar

STAGE(2)  
RGT U 7647-01-0 HCl

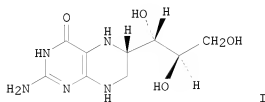
PRO R 62989-33-7, S 62961-57-3  
NTE stereoselective, Raney nickel was used

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L8 ANSWER 2 OF 3 CASREACT COPYRIGHT 2009 ACS on STN  
ACCESSION NUMBER: 123:256436 CASREACT  
TITLE: Preparation of (6S)-tetrahydro-D-neopterin via  
catalytic hydrogenation of D-neopterin.  
INVENTOR(S): Mochizuki, Naoki; Uemitsu, Nobuo  
PATENT ASSIGNEE(S): Asahi Breweries Ltd, Japan  
SOURCE: Jpn. Kokai Tokkyo Koho, 3 pp.  
CODEN: JKXXAF  
DOCUMENT TYPE: Patent  
LANGUAGE: Japanese  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

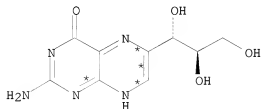
| PATENT NO.  | KIND | DATE     | APPLICATION NO. | DATE     |
|-------------|------|----------|-----------------|----------|
| JP 07188233 | A    | 19950725 | JP 1993-346845  | 19931227 |
| JP 2995448  | B2   | 19991227 |                 |          |

PRIORITY APPLN. INFO.: JP 1993-346845 19931227  
GI



AB (6S)-tetrahydro-D-neopterin (I) is prepared via hydrogenation of D-neopterin under 80-120 Kg/cm<sup>2</sup> pressure, pH 10-13. Thus, D-neopterin, platinum, and Et<sub>3</sub>N were placed in an autoclave and the reaction mixture was maintained at 0-5°, 100 Kg/cm<sup>2</sup> for 20 min to give, after treatment with concentrated HCl, I dihydrochloride.

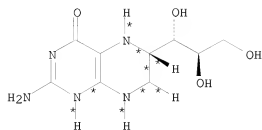
RX(1) OF 1 A ==> B



A



10/513699



● 2 HCl

B

RX(1)      RCT    A 2009-64-5  
             RGT    C 121-44-8 Et.3N  
             PRO    B 169219-49-2  
             CAT    7440-06-4 Pt  
             NTE    at 0-5°, 100 Kg/cm<sup>2</sup> for 20 min

<12/04/2007>

Erich Leese

10/513699

L8 ANSWER 3 OF 3 CASREACT COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 105:208658 CASREACT

TITLE: Hydrogenation of biopterin and its analogs;  
application for the convenient procedure of biopterin  
cofactor and related 5,6,7,8-tetrahydropterins

AUTHOR(S): Matsuura, Sadao; Murata, Shizuaki; Sugimoto, Takashi

CORPORATE SOURCE: Coll. Gen. Educ., Nagoya Univ., Nagoya, 464, Japan

SOURCE: Heterocycles (1985), 23(12), 3115-20

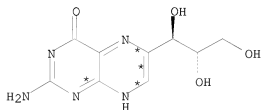
CODEN: HTCYAM; ISSN: 0385-5414

DOCUMENT TYPE: Journal

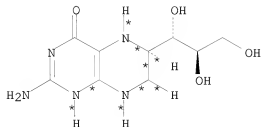
LANGUAGE: English

AB A large scale and stereoselective hydrogenation of biopterin to  
(6R)-5,6,7,8-tetrahydrobiopterin was achieved by using PtO<sub>2</sub> catalyst at pH  
11.8. The procedure was applied for various hydroxyalkylpterins such as  
neopterin and diastereomers of biopterin.

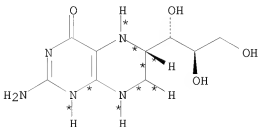
RX(6) OF 7 2 S ==> T + U



2 S



T



U

RX(6) RCT S 2277-43-2  
RGT D 7778-77-0 KH<sub>2</sub>PO<sub>4</sub>, E 7758-11-4 K<sub>2</sub>HPO<sub>4</sub>, F 1333-74-0 H<sub>2</sub>  
PRO T 78737-51-6, U 78737-52-7  
CAT 1314-15-4 PtO<sub>2</sub>  
SOL 7732-18-5 Water  
NTE pH ≥10.8

10/513699

=> d his

(FILE 'HOME' ENTERED AT 16:06:12 ON 09 JUN 2009)

FILE 'REGISTRY' ENTERED AT 16:06:33 ON 09 JUN 2009

FILE 'CASREACT' ENTERED AT 16:06:38 ON 09 JUN 2009

L1           STRUCTURE UPLOADED  
L2           0 S L1 FULL  
L3           STRUCTURE UPLOADED  
L4           0 S L3 FULL

FILE 'REGISTRY' ENTERED AT 16:09:12 ON 09 JUN 2009

L5           STRUCTURE UPLOADED

FILE 'CASREACT' ENTERED AT 16:12:07 ON 09 JUN 2009

L6           STRUCTURE UPLOADED  
L7           3 S L6 FULL  
L8           3 S L7 FULL

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|  |            |         |
|--|------------|---------|
| COST IN U.S. DOLLARS                       | SINCE FILE | TOTAL   |
|  | ENTRY      | SESSION |
| FULL ESTIMATED COST                        | 272.51     | 522.83  |
| DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) | SINCE FILE | TOTAL   |
|  | ENTRY      | SESSION |
| CA SUBSCRIBER PRICE                        | -2.34      | -2.34   |

STN INTERNATIONAL LOGOFF AT 16:14:58 ON 09 JUN 2009